PATENT
03187-P0006B DFC

Abstract

Disclosed is a prodrug for use in the treatment of physiological conditions comprising a carrier moiety selected from the group comprising cinnamoyl, benzoyl, phenylacetyl, 3,4-methylenedioxycinnamoyl and 3,4,5 trimethoxycinnamoyl, wherein the carrier moiety is chemically linked to a therapeutic polypeptide of the general formula aa_n, where *aa* is an amino acid, or a chemical or structural variation thereof, where *n* is an integer from 2 to 10, and wherein the polypeptide is poorly absorbed orally. Preferably, in the prodrug of the invention, *n* is an integer from 3 to 6. More preferably, *n* is 5. In a particularly preferred embodiment, the polypeptide is Tyr-Gly-Gly-PheMet.

In an alternative variation, the prodrug of the present invention further comprises a non-therapeutic linker species linking the polypeptide to the carrier species. Preferably, the linker species is an amino acid. Thus, the prodrug of the present invention can be viewed as a three-component entity: the first, therapeutically active component is the polypeptide; the second is the linker species, possibly an additional, non-therapeutic amino acid; and the third is the carrier moiety.

Also disclosed are methods for the enhancement of the bioavailability of orally administered polypeptide substances.